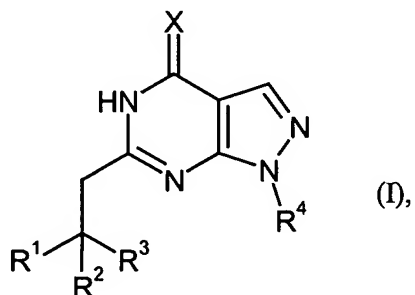


New Claims (Attorney Docket No. LeA 36 231)

14. (New) The process of claim 6, where in the sulfurizing agent is diphosphorus pentasulfide.

Amended Claims (Attorney Docket No. LeA 36 231)

1. (Original) A compound of the formula



in which

R^1 is C_1 - C_6 -alkyl, trifluoromethyl, hydroxy, C_1 - C_6 -alkoxy, $-C(=O)OR^5$ or $-C(=O)NR^6R^7$, where C_1 - C_6 -alkyl is optionally substituted by 1 to 3 radicals independently of one another selected from the group of hydroxy, C_1 - C_6 -alkoxy, halogen, trifluoromethyl, trifluoromethoxy, $-C(=O)OR^5$ or $-C(=O)NR^6R^7$, and

R^5 is C_1 - C_6 -alkyl,

R^6 and R^7 are independently of one another hydrogen, C_6 - C_{10} -aryl, C_1 - C_6 -alkyl, or

together with the nitrogen atom to which they are bonded form a 4- to 10-membered heterocyclyl,

R^2 is hydrogen, C_1 - C_6 -alkyl, trifluoromethyl, C_1 - C_6 -alkoxy,

or

R^1 and R^2 together with the carbon atom to which they are bonded form C_3 - C_8 -cycloalkyl, C_3 - C_8 -cycloalkenyl or 4- to 10-membered heterocyclyl, which are optionally substituted by up to 2 substituents from the group of C_1 - C_6 -alkyl, C_1 - C_6 -alkoxy, hydroxy, oxo, $-C(=O)OR^8$, and

R^8 is C_1 - C_6 -alkyl or benzyl,

R^3 is hydrogen or C_1 - C_6 -alkyl,

R^4 is pentan-3-yl, C_3 - C_6 -cycloalkyl,

X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

2. (Original) A compound as claimed in claim 1, wherein

R¹ is C₁-C₆-alkyl, hydroxy, C₁-C₆-alkoxy, -C(=O)OR⁵ or -C(=O)NR⁶R⁷, where C₁-C₆-alkyl is optionally substituted by hydroxy, C₁-C₆-alkoxy, -C(=O)OR⁵ or -C(=O)NR⁶R⁷, and

R⁵ is C₁-C₆-alkyl,

R⁶ and R⁷ are independently of one another hydrogen, C₆-C₁₀-aryl, C₁-C₆-alkyl, or

together with the nitrogen atom to which they are bonded form a 4- to 10-membered heterocyclyl,

R² is hydrogen, C₁-C₆-alkyl, C₁-C₆-alkoxy,

or

R¹ and R² together with the carbon atom to which they are bonded form C₃-C₈-cycloalkyl, C₃-C₈-cycloalkenyl or 4- to 10-membered heterocyclyl, which are optionally substituted by up to 2 substituents from the group of C₁-C₆-alkyl, C₁-C₆-alkoxy, hydroxy, oxo, -C(=O)OR⁸, and

R⁸ is C₁-C₆-alkyl or benzyl,

R³ is hydrogen or C₁-C₆-alkyl,

R⁴ is pentan-3-yl, C₄-C₆-cycloalkyl,

X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

3. (Currently amended) A compound as claimed in ~~claims~~ claim 1 ~~and 2~~, where

R¹ is C₁-C₄-alkyl, hydroxy, C₁-C₄-alkoxy, -C(=O)OR⁵ or -C(=O)NR⁶R⁷, where C₁-C₄-alkyl is optionally substituted by hydroxy, trifluoromethyl, C₁-C₄-alkoxy, -C(=O)OR⁵ or -C(=O)NR⁶R⁷, and

R⁵ is C₁-C₄-alkyl,

R⁶ and R⁷ are independently of one another hydrogen, phenyl, C₁-C₄-alkyl, or together with the nitrogen atom to which they are bonded form a 5- to 6-membered heterocyclyl,

R² is hydrogen, C₁-C₄-alkyl, trifluoromethyl, C₁-C₄-alkoxy,

or

R¹ and R² together with the carbon atom to which they are bonded form C₅-C₆-cycloalkyl, C₅-C₆-cycloalkenyl or 5- to 6-membered heterocyclyl, which are optionally substituted by up to 2 substituents from the group of C₁-C₄-alkyl, C₁-C₄-alkoxy, hydroxy, oxo, -C(=O)OR⁸, and

R⁸ is C₁-C₄-alkyl or benzyl,

R³ is hydrogen,

R⁴ is pentan-3-yl, C₅-C₆-cycloalkyl,

X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

4. (Currently amended) A compound as claimed in ~~claims~~ claim 1 ~~to 3~~, where

R¹ is methyl, ethyl, isopropyl, trifluoromethyl, methoxycarbonyl, ethoxycarbonyl or -C(=O)NR⁶R⁷, where methyl is optionally substituted by methoxycarbonyl or ethoxycarbonyl, and

R⁶ is phenyl and

R⁷ is hydrogen,

R^2 is hydrogen, methyl, trifluoromethyl, or

R^1 and R^2 together with the carbon atom to which they are bonded form cyclopentyl, cyclohexyl, cyclopentenyl or tetrahydrofuryl, where cyclohexyl is optionally substituted by methyl, and

R^3 is hydrogen,

R^4 is pentan-3-yl, C_5 - C_6 -cycloalkyl,

X is oxygen or sulfur,

and the salts, solvates and/or solvates of the salts thereof.

5. (Currently amended) A compound as claimed in ~~claims~~ claim 1 to 4, where

R^1 is methyl, ethyl, isopropyl, methoxycarbonyl, ethoxycarbonyl or $-C(=O)NR^6R^7$, where methyl is optionally substituted by methoxycarbonyl or ethoxycarbonyl, and

R^6 is phenyl and

R^7 is hydrogen,

R^2 is hydrogen, methyl, or

R^1 and R^2 together with the carbon atom to which they are bonded form cyclopentyl, cyclohexyl, cyclopentenyl or tetrahydrofuryl, where cyclohexyl is optionally substituted by methyl, and

R^3 is hydrogen,

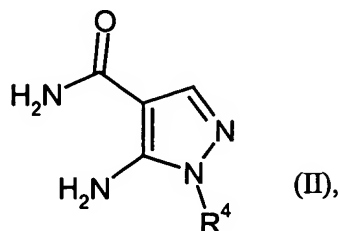
R^4 is pentan-3-yl, C_5 - C_6 -cycloalkyl,

X is oxygen,

and the salts, solvates and/or solvates of the salts thereof.

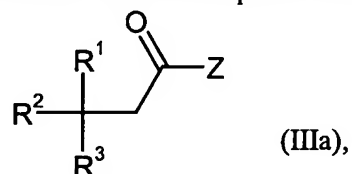
6. (Currently amended) A process for preparing compounds as claimed in claims 1 to 5, characterized in that

[A] compounds of the formula



in which R⁴ has the meanings indicated ~~above~~ in claim 1,

are converted by reaction with a compound of the formula

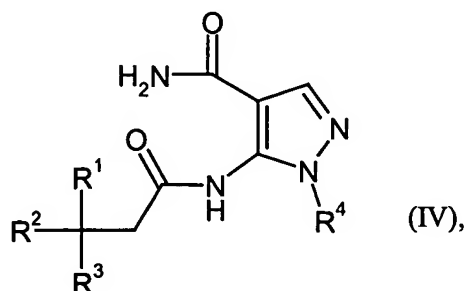


in which R¹, R² and R³ have the meanings indicated ~~above~~ in claim 1,

and

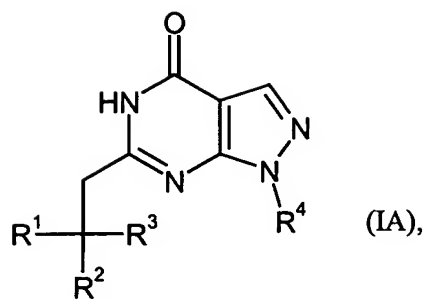
Z is chlorine or bromine,

in an inert solvent and in the presence of a base initially into compounds of the formula



in which R¹, R², R³ and R⁴ have the meanings indicated ~~above~~ in claim 1,

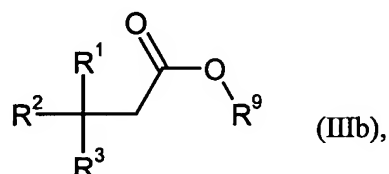
then cyclized in an inert solvent and in the presence of a base to compounds of the formula



in which R¹, R², R³ and R⁴ have the meanings indicated ~~above~~ in claim 1,

or

[B] compounds of the formula (II) are reacted, with direct cyclization to (IA), with a compound of the formula



in which R¹, R² and R³ have the meanings indicated ~~above~~ in claim 1,

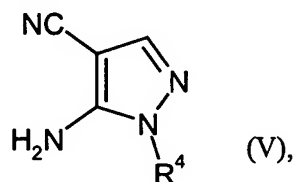
and

R⁹ is methyl or ethyl,

in an inert solvent and in the presence of a base,

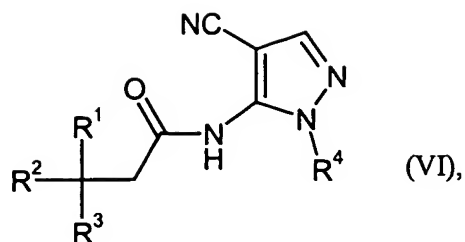
or

[C] compounds of the formula



in which R⁴ has the meanings indicated ~~above~~ in claim 1,

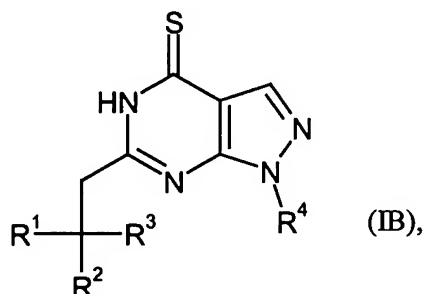
are initially converted by reaction with a compound of the formula (IIIa) in an inert solvent and in the presence of a base into compounds of the formula



in which R¹, R², R³ and R⁴ have the meanings indicated ~~above~~ in claim 1,

and the latter are cyclized in a second step in an inert solvent and in the presence of a base and of an oxidizing agent to (IA),

and the compounds of the formula (IA) are where appropriate then converted by reaction with a sulfurizing agent ~~such as, for example, diphosphorus pentasulfide~~ into the thiono derivatives of the formula



in which R¹, R², R³ and R⁴ have the meanings indicated ~~above~~ in claim 1,

and the resulting compounds of the formula (I) are reacted where appropriate with the appropriate (i) solvents and/or (ii) bases or acids to give the solvates, salts and/or solvates of the salts thereof.

7. (Cancelled).
8. (Original) A medicament comprising at least one of the compounds as claimed in any of claims 1 to 5 and at least one pharmaceutically acceptable, essentially nontoxic carrier or excipients.

9. (Currently amended) ~~The use of the compounds as claimed in any of claims 1 to 5 for producing a medicament~~ A method for the prophylaxis and/or treatment of impairments of perception, concentration, learning and/or memory comprising administering to a human or animal an effective amount of a compound of claim 1.
10. (Currently amended) The use method as claimed in claim 9, where the impairment is a consequence of Alzheimer's disease.
11. (Currently amended) ~~The use of the compounds as claimed in any of claims 1 to 5 for producing a medicament~~ A method for improving perception, concentration, learning and/or memory comprising administering to a human or animal an effective amount of a compound of claim 1.
12. (Cancelled).
13. (Cancelled).